

10/584,481

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:sssptal201txs

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page for STN Seminar Schedule - N. America  
NEWS 2 JUL 02 LMEDLINE coverage updated  
NEWS 3 JUL 02 SCISEARCH enhanced with complete author names  
NEWS 4 JUL 02 CHEMCATS accession numbers revised  
NEWS 5 JUL 02 CA/CAPplus enhanced with utility model patents from China  
NEWS 6 JUL 16 CAPplus enhanced with French and German abstracts  
NEWS 7 JUL 18 CA/CAPplus patent coverage enhanced  
NEWS 8 JUL 26 USPATFULL/USPAT2 enhanced with IPC reclassification  
NEWS 9 JUL 30 USGENE now available on STN  
NEWS 10 AUG 06 CAS REGISTRY enhanced with new experimental property tags  
NEWS 11 AUG 06 FSTA enhanced with new thesaurus edition  
NEWS 12 AUG 13 CA/CAPplus enhanced with additional kind codes for granted patents  
NEWS 13 AUG 20 CA/CAPplus enhanced with CAS indexing in pre-1907 records  
NEWS 14 AUG 27 Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB  
NEWS 15 AUG 27 USPATOLD now available on STN  
NEWS 16 AUG 28 CAS REGISTRY enhanced with additional experimental spectral property data  
NEWS 17 SEP 07 STN AnaVist, Version 2.0, now available with Derwent World Patents Index  
NEWS 18 SEP 13 FORIS renamed to SOFIS  
NEWS 19 SEP 13 INPADOCDB enhanced with monthly SDI frequency  
NEWS 20 SEP 17 CA/CAPplus enhanced with printed CA page images from 1967-1998  
NEWS 21 SEP 17 CAPplus coverage extended to include traditional medicine patents  
NEWS 22 SEP 24 EMBASE, EMBAL, and LEMBASE reloaded with enhancements  
NEWS 23 OCT 02 CA/CAPplus enhanced with pre-1907 records from Chemisches Zentralblatt  
NEWS 24 OCT 19 BEILSTEIN updated with new compounds  
  
NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,  
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

10/584,481

NEWS HOURS      STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN      Welcome Banner and News Items  
NEWS IPC8      For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 14:44:27 ON 25 OCT 2007

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:44:37 ON 25 OCT 2007

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 OCT 2007 HIGHEST RN 951288-30-5

DICTIONARY FILE UPDATES: 23 OCT 2007 HIGHEST RN 951288-30-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

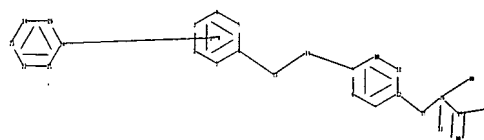
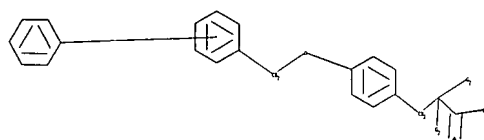
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10584481.str

10/584,481



chain nodes :  
13 14 15 16 17 18 19 27 30 31  
ring nodes :  
1 2 3 4 5 6 7 8 9 10 11 12 21 22 23 24 25 26  
chain bonds :  
6-13 9-14 12-15 13-14 15-16 16-17 16-30 16-31 17-18 17-19 26-27  
ring bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 21-22  
21-26 22-23 23-24 24-25 25-26  
exact/norm bonds :  
9-14 16-30 16-31 17-18 17-19  
exact bonds :  
6-13 12-15 13-14 15-16 16-17 26-27  
normalized bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 21-22  
21-26 22-23 23-24 24-25 25-26  
isolated ring systems :  
containing 1 : 7 : 21 :

G1:O,N

G2:H,O,X

Match level :

10/584,481

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom  
10:Atom 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS  
18:CLASS 19:CLASS 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom  
27:CLASS 28:Atom 30:CLASS 31:CLASS

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 14:45:00 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 2369 TO ITERATE

84.4% PROCESSED 2000 ITERATIONS 2 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 44461 TO 50299  
PROJECTED ANSWERS: 2 TO 139

L2 2 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 14:45:07 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 47401 TO ITERATE

100.0% PROCESSED 47401 ITERATIONS 18 ANSWERS  
SEARCH TIME: 00.00.01

L3 18 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	172.31

FILE 'CAPLUS' ENTERED AT 14:45:18 ON 25 OCT 2007  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing

10/584,481

of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 25 Oct 2007 VOL 147 ISS 18  
FILE LAST UPDATED: 24 Oct 2007 (20071024/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

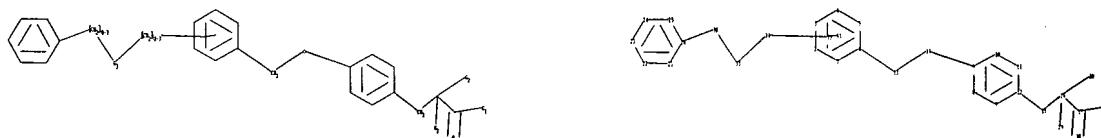
<http://www.cas.org/infopolicy.html>

=> s 13

L4 3 L3

=>

Uploading C:\Program Files\Stnexp\Queries\105844811.str



chain nodes :

13 14 15 16 17 18 19 28 29 33 34 35 38

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 21 22 23 24 25 26

chain bonds :

6-13 9-14 12-15 13-14 15-16 16-17 16-28 16-29 17-18 17-19 26-38  
33-34 33-38 34-35

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 21-22  
21-26 22-23 23-24 24-25 25-26

10/584,481

exact/norm bonds :  
9-14 16-28 16-29 17-18 17-19 33-34 33-38  
exact bonds :  
6-13 12-15 13-14 15-16 16-17 26-38 34-35  
normalized bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 21-22  
21-26 22-23 23-24 24-25 25-26  
isolated ring systems :  
containing 1 : 7 : 21 :

G1:O,N

G2:H,O,X

G3:O,S,N

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom  
10:Atom 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS  
18:CLASS 19:CLASS 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom  
28:CLASS 29:CLASS 33:CLASS 34:CLASS 35:CLASS 38:CLASS 41:Atom

L5        STRUCTURE UPLOADED

=> s 15

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 14:55:34 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED -        2789 TO ITERATE

71.7% PROCESSED        2000 ITERATIONS        1 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:    ONLINE    \*\*COMPLETE\*\*  
                              BATCH    \*\*COMPLETE\*\*  
PROJECTED ITERATIONS:        52613 TO        58947  
PROJECTED ANSWERS:            1 TO            97

L6            1 SEA SSS SAM L5

10/584,481

L7 1 L6

=> dup rem l7 l4

PROCESSING COMPLETED FOR L7

PROCESSING COMPLETED FOR L4

L8 3 DUP REM L7 L4 (1 DUPLICATE REMOVED)

=> d l8 ibib abs hitstr hitind 1-3

L8 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2004:412803 CAPLUS

DOCUMENT NUMBER: 141:1264

TITLE: Receptor function controlling agent

INVENTOR(S): Fukatsu, Kohji; Sasaki, Shinobu; Hinuma, Shuji;  
Ito,

Yasuaki; Suzuki, Nobuhiro; Harada, Masataka;

Yasuma,

Tsuneo

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 442 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041266	A1	20040521	WO 2003-JP14139	20031106
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,				
TD, TG				
CA 2505322	A1	20040521	CA 2003-2505322	20031106
AU 2003277576	A1	20040607	AU 2003-277576	20031106
JP 2005015461	A	20050120	JP 2003-376833	20031106
EP 1559422	A1	20050803	EP 2003-810621	20031106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1735408	A	20060215	CN 2003-80108260	20031106
PRIORITY APPLN. INFO.:			JP 2002-324632	A 20021108
			JP 2003-16889	A 20030127

JP 2003-153986 A 20030530

WO 2003-JP14139 W 20031106

OTHER SOURCE(S): MARPAT 141:1264

AB A GPR40 receptor function controlling agent which contains a compound having

an aromatic ring and a group capable of releasing a cation and is useful as a insulin secretion promoting agent or a preventive/remedy for diabetes, etc.

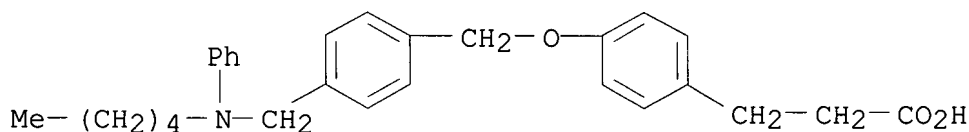
IT 691903-50-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(GPR40 receptor function controlling agents as antidiabetics)

RN 691903-50-1 CAPLUS

CN Benzenepropanoic acid,

4-[[4-[(pentylphenylamino)methyl]phenyl]methoxy]-  
(CA INDEX NAME)

IC ICM A61K031-192

ICS A61K031-195; A61K031-216; A61K031-343; A61K031-381; A61K031-401;  
A61K031-404; A61K031-426; A61K031-428; A61K031-437; A61P001-04;  
A61P003-04; A61P003-06; A61P003-10; A61P007-02; A61P007-10;  
A61P009-10; A61P009-12; A61P013-12; A61P015-08

CC 1-10 (Pharmacology)

Section cross-reference(s): 28, 63

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(GPR40 receptor function controlling agents as antidiabetics)

L8 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:633643 CAPLUS

DOCUMENT NUMBER: 139:180343

TITLE: Preparation of aromatic amino acid derivatives as anticancer agents

INVENTOR(S): Endo, Hitoshi; Kanai, Yoshikatsu; Tsujihara, Kenji; Saito, Kunio

PATENT ASSIGNEE(S): Japan

SOURCE: PCT Int. Appl., 124 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

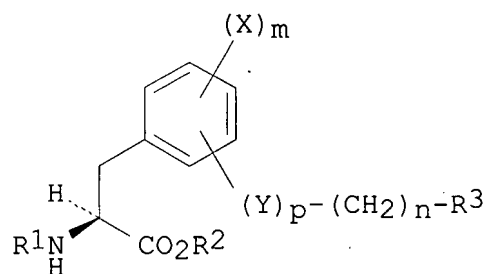
LANGUAGE: Japanese

10/584,481

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003066574	A1	20030814	WO 2003-JP1081	20030203
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2475434	A1	20030814	CA 2003-2475434	20030203
AU 2003208105	A1	20030902	AU 2003-208105	20030203
EP 1481965	A1	20041201	EP 2003-703151	20030203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1630632	A	20050622	CN 2003-803549	20030203
US 2005119256	A1	20050602	US 2005-503125	20050209
PRIORITY APPLN. INFO.:			JP 2002-31216	A 20020207
			WO 2003-JP1081	W 20030203

OTHER SOURCE(S): MARPAT 139:180343  
GI



AB Aromatic amino acid derivs. represented by the following general formula (I)  
or pharmacol. acceptable salts thereof [wherein R1 represents hydrogen or an amino-protecting group; R2 represents hydrogen, alkylaralkyl or aryl;  
R3 represents (1) halogeno, (2) aroylamino, (3) Ph substituted by lower alkyl, Ph, phenoxy, etc., (4) naphthyl or tetrahydronaphthyl optionally substituted by hydroxy, lower alkoxy or di(lower alkyl)amino, (5) an N-,

10/584,481

O- and/or S-containing unsatd. monocyclic heterocycle group substituted by

lower alkyl, Ph, naphthyl or tetrahydroquinolyl, or (6) an N-, O- and/or

S-containing fused heterocycle group, which may be unsatd. or partly saturated,

optionally substituted by oxo, carboxy, amino, lower alkyl, etc.; X represents halogeno, alkyl or alkoxy; Y represents oxygen or nitrogen;

p is 0 or 1; m is 0, 1 or 2; and n is an integer of from 0 to 5] are prepared

These compds. inhibit a transporter (LAT1) of essential amino acids which

are one of the main nutrients for cancer cells and induce depletion of the

essential amino acids in the cancer cells, thereby inhibit the proliferation of the cancer cells. Thus, 0.2 mL pyridine was added to a

suspension of N-trifluoroacetyl-3-hydroxy-L-phenylalanine Et ester 159, 2-naphthaleneboronic acid 186, mol. sieve 4A 204, and Cu(OAc)<sub>2</sub> 153 mg in 7

mL CH<sub>2</sub>Cl<sub>2</sub>, stirred at room temperature for 16 h in air to give, after workup and

silica gel chromatog., 89% N-trifluoroacetyl-3-(2-naphthyloxy)-L-phenylalanine Et ester (II). 0.5 N aqueous NaOH was added to a solution of II

(94 mg) in 2 mL THF at 5°, stirred at 5° for 69 h, acidified with 1 N aqueous HCl to pH 3-4, and filtered to give 78%

3-(2-naphthyloxy)-L-phenylalanine (III). In an assay for a LAT1 inhibitory activity, III and

3-[3-(6-dimethylaminopyridyl)phenoxy]-L-phenylalanine in vitro showed IC<sub>50</sub>

of 0.1 and 0.01 µg/mL, resp., for inhibiting the uptake of [14C]-L-tyrosine by human prostatic cancer T24 cells.

IT 579524-19-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

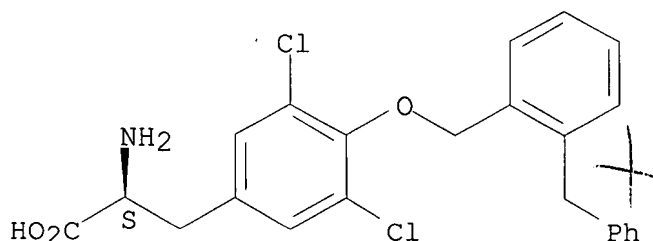
(preparation of aromatic amino acid derivs. as anticancer agents for inhibiting

proliferation of cancer cells by inhibiting essential amino acid transporter (LAT1))

RN 579524-19-9 CAPLUS

CN L-Tyrosine, 3,5-dichloro-O-[[2-(phenylmethyl)phenyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 579526-20-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT

(Reactant or reagent)

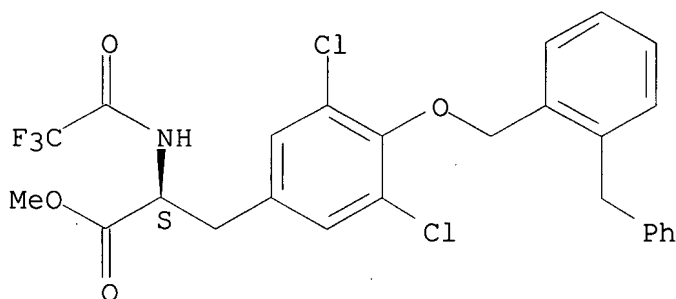
(preparation of aromatic amino acid derivs. as anticancer agents for inhibiting

proliferation of cancer cells by inhibiting essential amino acid transporter (LAT1))

RN 579526-20-8 CAPLUS

CN L-Tyrosine, 3,5-dichloro-O-[[2-(phenylmethyl)phenyl]methyl]-N-(trifluoroacetyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07C229-36

ICS C07C255-54; C07D207-333; C07D209-08; C07D213-30; C07D213-74; C07D215-20; C07D263-32; C07D263-56; C07D277-24; C07D307-80; C07D307-91; C07D311-30; C07D317-64; C07D401-04; C07D413-04; C07D417-04; C07D471-04; A61K031-198; A61K031-277

CC 34-2 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1

(prepn. of arom. amino acid derivs. as anticancer agents for inhibiting

proliferation of cancer cells by inhibiting essential amino acid transporter (LAT1))

REFERENCE COUNT:  
THIS

20

THERE ARE 20 CITED REFERENCES AVAILABLE FOR

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

10/584,481

L8 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:154382 CAPLUS

DOCUMENT NUMBER: 138:187795

TITLE: Preparation of aryl or heterocyclyl-substituted benzoic acid and alkanoic acid derivatives as antagonists of prostaglandin E2 (PEG2) receptors

INVENTOR(S): Tani, Kousuke; Asada, Masaki; Kobayashi, Kaoru; Narita, Masami; Ogawa, Mikio

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 1009 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

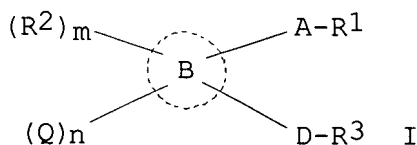
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016254	A1	20030227	WO 2002-JP8120	20020808
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2457468	A1	20030227	CA 2002-2457468	20020808
AU 2002323916	A1	20030303	AU 2002-323916	20020808
EP 1431267	A1	20040623	EP 2002-755874	20020808
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
BR 2002011810	A	20040824	BR 2002-11810	20020808
CN 1551866	A	20041201	CN 2002-817376	20020808
HU 2004001963	A2	20050128	HU 2004-1963	20020808
NZ 531153	A	20051028	NZ 2002-531153	20020808
NZ 541950	A	20070223	NZ 2002-541950	20020808
ZA 2004000973	A	20050104	ZA 2004-973	20040205
NO 2004000564	A	20040510	NO 2004-564	20040206
MX 2004PA01253	A	20040603	MX 2004-PA1253	20040209
US 2006258728	A1	20061116	US 2004-486220	20040909
PRIORITY APPLN. INFO.:			JP 2001-241867	A 20010809
			WO 2002-JP8120	W 20020808

OTHER SOURCE(S): MARPAT 138:187795

GI



AB Carboxylic acid derivs. (I) and nontoxic salts thereof [wherein R1 = CO<sub>2</sub>H, CO<sub>2</sub>R<sub>4</sub>, CH<sub>2</sub>OH, COR<sub>5</sub>SO<sub>2</sub>R<sub>6</sub>, CONH<sub>2</sub>, CH<sub>2</sub>NR<sub>5</sub>SO<sub>2</sub>R<sub>6</sub>, CH<sub>2</sub>NR<sub>9</sub>COR<sub>10</sub>, CH<sub>2</sub>NR<sub>9</sub>CONR<sub>5</sub>SO<sub>2</sub>R<sub>6</sub>, CH<sub>2</sub>SO<sub>2</sub>NR<sub>9</sub>COR<sub>10</sub>, CH<sub>2</sub>O<sub>2</sub>CNR<sub>5</sub>SO<sub>2</sub>R<sub>6</sub>, tetrazole, 1,2,4-oxadiazol-5-one, 1,2,4-oxadiazol-5-thione, 1,2,4-thiadiazol-5-one, etc. (wherein R<sub>4</sub> = C1-6 alkyl, hydroxy-C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, carboxy-C1-4 alkyl, etc.; R<sub>5</sub>, R<sub>9</sub> = H, C1-6 alkyl; R<sub>6</sub> = C1-6 alkyl, C3-15 mono-, di-, or tricyclic carbocyclic, 3- to 13-membered mono-, di-, or tricyclic heterocyclyl, etc.; R<sub>10</sub> = H, R<sub>6</sub>); A = a single bond, C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, etc.; the ring B = C3-12 mono- or dicyclic carbocyclic ring, 3- to 12-membered mono- or dicyclic heterocyclic ring; R<sub>2</sub> = C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C2-6 alkenyl, C2-6 alkynyl, halo, CHF<sub>2</sub>, CF<sub>3</sub>, NO<sub>2</sub>, cyano, Ph, oxo; m, n = 0,1,2; Q = (C1-4 alkylene, C2-4 alkenylene, or C2-4 alkynylene)-Cyc<sub>2</sub>, -C1-4 alkylene-Z-Cyc<sub>3</sub>, amino-C1-4 alkyl, cyano-C1-4 alkyl, acylamino-C1-4 alkyl, 3- to 7-membered monocyclic carbocyclyl, 3- to 6-membered monocyclic heterocyclyl, etc. (wherein Cyc<sub>2</sub>, Cyc<sub>3</sub> = C3-15 mono-, di-, or tricyclic carbocyclyl or heterocyclyl, etc.; Z = O, S, SO, SO<sub>2</sub>, NH, NHCO, etc.); D = an linking chain consisting of 1-2 or 3-6 of atoms selected from C, N, O, or S, etc.;

R<sub>3</sub> = C1-6 alkyl, C3-15 mono-, di-, or tricyclic carbocyclyl, 3- to 15-membered mono-, di-, or tricyclic heterocyclyl, etc.] are prepared

These carboxylic acid derivs. include phenylpropanoic acid, phenylpropenoic acid, phenylpropanamide, phenylpropenamide, 3-oxoisindolin-1-ylacetic acid, benzylbenzoic acid, benzylaminoacetic acid, pyrazolylmethylbenzoic acid, benzoylaminoacetic acid, (pyrazolylmethylphenyl)propenoic acid, pyrazolylmethylpropanoic acid, (pyridinyloxyphenyl)propanoic acid, phenoxyacetic acid, phenylbutanoic acid, (pyrazolylmethyl)propanamide, (piperazinylmethylphenyl)propanamide, (morpholinylmethylphenyl)propanamide, (pyridinyloxyphenyl)propanamide, (pyrazolylmethyl)propanamide, (oxoimidazolidinylmethylphenyl)propanamide, (oxopyrrolidinylmethylphenyl)propanamide, (thiophenylmethylphenyl)propanamide,

(pyrazolylmethylphenylamino)acetamide,  
 (thiazolylaminomethylphenyl)propanamide, thiophenylpropenamide, (pyrazolylmethylphenoxy)acetamide,  
 (phenoxyethyl)benzamide,  
 (pyrazolylmethylphenylethyl)-1,2,4-oxadiazol-5-one, and (pyrazolylmethylphenylindolyl)acetic acid. Because of binding to PEG2 receptors, in particular, subtype EP3 and/or subtype EP4 and having antagonism, the compds. I are useful in preventing and/or treating diseases such as pain, allodynia, hyperalgesia, pruritus (itching), urticaria, atopic dermatitis, contact dermatitis, Urushi (Japanese lacquer tree) dermatitis, allergic conjunctivitis, symptoms during dialysis, asthma, rhinitis, allergic rhinitis, nasal congestion, sneeze, psoriasis, pollakiuria (increased urinary frequency), urination disorder, ejaculation (semination) disorder, fever (pyrexia), systemic inflammation reaction, learning disorder, Alzheimer's disease, neovascularization, cancer formation, cancer proliferation, cancer metastasis to organs, cancer metastasis to bone, hypercalcemia accompanied by cancer metastasis to bone, retinopathy, rubrum, erythema (rash), leucoma, skin moth-patch, heat burn, burn, steroid burn, kidney failure, nephropathy, acute or chronic nephritis, blood electrolyte disorder, imminent abortion, threatened abortion, excessive menstruation, dysmenorrhea, endometriosis, premenstrual syndrome, uterine gland myopathy, reproduction disorder, and stress. They are also useful in preventing and/or treating anxiety, depression, psychophysiol. disorder, mental retardation, thrombus, embolism, transient ischemic attack, cerebral infarction, atheroma, organ transplant, heart failure, hypertension, myocardial infarction, arteriosclerosis, circulation disorders or ulcers associated therewith, nerve disorders, vascular dementia, edema, diarrhea, constipation, biliary excretion disorder, ulcerative colitis, Crohn's disease, irritable bowel syndrome, reduction of rebound after using steroid drugs, aids for decreasing or removing steroid drugs, bone diseases, systemic granuloma, immune diseases, pyorrhea alveolaris, gingivitis, periodontal disease, nerve cell death, lung disorder, liver disorder, acute hepatitis, myocardial ischemia, Kawasaki disease, multiple organ failure, chronic headache, angiitis, venous failure, varicose vein (varicosis), anal fistula, diabetes insipidus, neonatal patent ductus arteriosus, and cholelithiasis.

Thus, 4-hydroxymethyl-2-[2-(naphthalen-2-yl)ethoxy]cinnamic acid Et ester was mesylated by methanesulfonyl chloride in the presence of Et<sub>3</sub>N in THF

at 0° for 15 min and condensed with pyrazole in the presence of NaH in DMF at 0° to give 2-[2-(naphthalen-2-yl)ethoxy]-4-(1-pyrazolylmethyl)cinnamic acid Et ester. 4-[2-[[2-(Naphthalen-1-yl)propanoyl]amino]-4-methylthiomethylphenyl]butanoic acid inhibited the binding of [3H]PGE2 to prostaglandin E2 (PEG2) receptor subtype EP1, EP2, EP3, and EP4 expressed in CHO cells with Ki of >10, >10, 0.27, and 0.038

μM, resp. A tablet formulation containing (2E)-2-[2-(naphthalen-2-yl)ethoxy]-4-(1-pyrazolylmethyl)cinnamic acid was described.

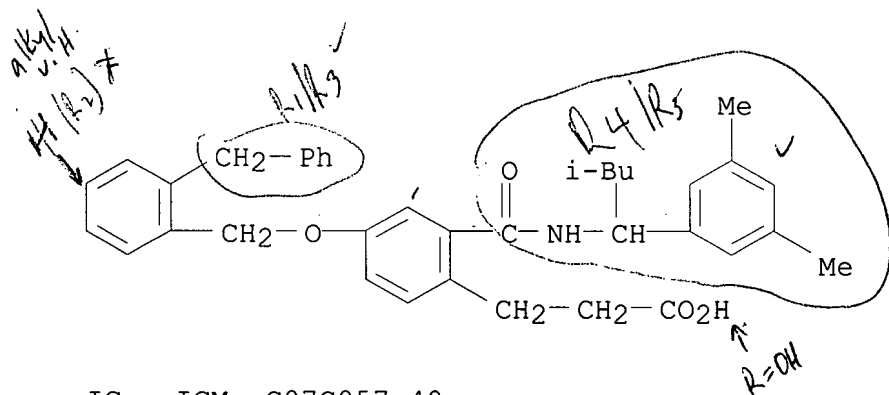
IT 499155-37-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl or heterocyclyl-substituted benzoic acid and alkanolic acid derivs. as antagonists of prostaglandin E2 (PEG2) receptors as therapeutic agents)

RN 499155-37-2 CAPLUS

CN Benzenepropanoic acid, 2-[[[1-(3,5-dimethylphenyl)-3-methylbutyl]amino]carbonyl]-4-[[2-(phenylmethyl)phenyl]methoxy]- (CA INDEX NAME)



IC ICM C07C057-40

ICS C07C057-44; C07C069-736; C07C229-34; C07C233-47; C07C233-55; C07C233-65; C07C233-81; C07C233-87; C07C235-38; C07C235-42; C07C235-46; C07C235-48; C07C235-54; C07C235-56; C07C237-30; C07C239-18; C07C255-37; C07C255-55; C07C255-57

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 25, 27, 63

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aryl or heterocyclyl-substituted benzoic acid and alkanolic acid derivs. as antagonists of prostaglandin E2 (PEG2) receptors as therapeutic agents)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS

10/584,481

RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
17.77	198.52

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-2.34	-2.34

CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 14:56:54 ON 25 OCT 2007